

10/732,988

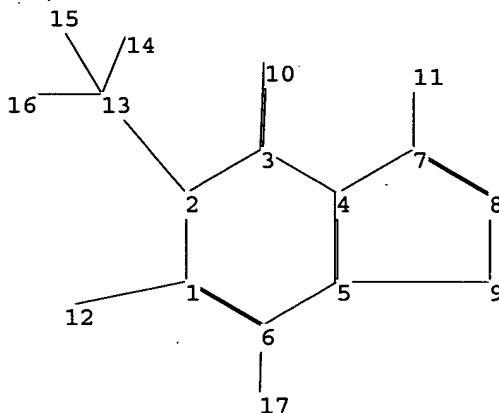
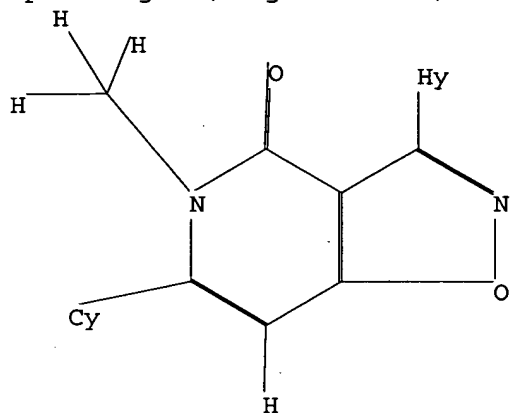
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Uploading C:\Program Files\Stnexp\Queries\10732988.str



chain nodes :

10 11 12 13 14 15 16 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-12 2-13 3-10 6-17 7-11 13-14 13-15 13-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9

exact/norm bonds :

1-2 1-6 1-12 2-3 2-13 3-4 3-10 4-5 5-6 7-8 7-11

exact bonds :

4-7 5-9 6-17 8-9 13-14 13-15 13-16

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

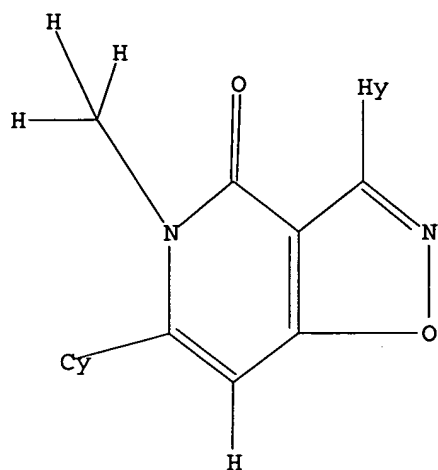
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10/732,988



Structure attributes must be viewed using STN Express query preparation.

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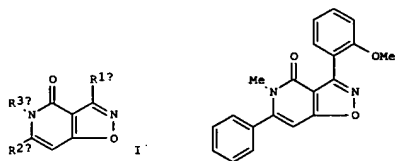
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 ACCESSION NUMBER: 2004:73975 CAPLUS
 DOCUMENT NUMBER: 141:243542
 TITLE: Preparation of isoxazolopyridone derivatives as metabotropic glutamate receptor antagonists
 INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo, Mitsuru;
 Tsukamoto, Naohiro
 PATENT ASSIGNEE(S): Japan
 SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of Appl. No. PCT/JP02/020589.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

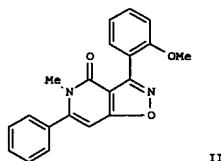
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WO 2002102807	A1	20021227	WO 2002-JP5898	20020613

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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 PRIORITY APPLN. INFO.: JP 2001-179801 A 20010614
 WO 2002-JP5898 A2 20020613

OTHER SOURCE(S): MARPAT 141:243542
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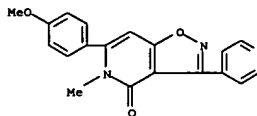
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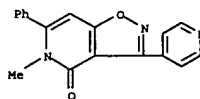
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 or Ph group which may be substituted; and R3a is Me, with the proviso that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts, exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepd. Thus, refluxing 5-(phenylcarbonylmethyl)-3-(2-methoxyphenyl)-N-methyl-4-isoxazolo[4,5-c]pyridine-6-carboxamide with p-toluenesulfonic acid in THF for 5 h gave 5-methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5-c]pyridine-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.
 IT 479077-02-6P 479077-04-8P 479077-06-0P
 479077-07-1P 479077-08-2P 479077-31-1P
 479077-32-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of isoxazolopyridone derivs. as metabotropic glutamate receptor antagonists)

RN 479077-02-6 CAPLUS
 CN Isoxazolo[4,5-c]pyridine-4(5H)-one, 6-(4-methoxyphenyl)-5-methyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

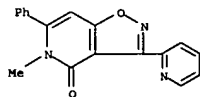


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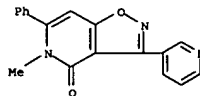


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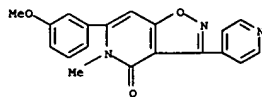
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (9CI) (CA INDEX NAME)



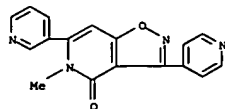
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 CN Isoxazolo[4,5-c]pyridine-4(5H)-one, 5-methyl-6-phenyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 479077-08-2 CAPLUS
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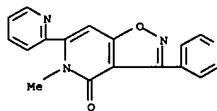


RN 479077-31-1 CAPLUS
 CN Isoxazolo[4,5-c]pyridine-4(5H)-one, 5-methyl-6-(3-pyridinyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 479077-32-2 CAPLUS
 CN Isoxazolo[4,5-c]pyridine-4(5H)-one, 5-methyl-6-(2-pyridinyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 2 OF 2 CAPIUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:977820 CAPIUS

DOCUMENT NUMBER: 138:55955

TITLE: Preparation of isoxazolopyridone derivatives as

metabotropic glutamate receptor antagonists

Nakamura, Masayuki; Kurihara, Hideki; Ohkubo,

Mitsuru;

Tsukamoto, Naohiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

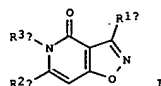
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2451057	AA	20021227	CA 2002-2451057	20020613
EP 1408042	A1	20040414	EP 2002-733499	20020613
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US 2004176407	A1	20040909	US 2003-732988	20031210
PRIORITY APPLN. INFO.:			JP 2001-179801	A 20010614
			WO 2002-JP5898	W 20020613

OTHER SOURCE(S):

MARPAT 138:55955

GI



AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the proviso that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF₃, F, CH₂Br, or CH₂NMe₂, or unsubstituted

L4 ANSWER 2 OF 2 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)

heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts., exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepd. Thus, refluxing 5-(4-methoxyphenylcarbonylmethyl)-3-(pyridin-4-yl)-N-methyl-4-isoxazolo[4,5-c]pyridine with p-toluenesulfonic acid in THF for 5 h gave 60%

5-methyl-6-(4-methoxyphenyl)-3-(pyridin-4-yl)isoxazolo[4,5-c]pyridin-4(5H)-one. 5-Methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5-c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC₅₀ of 7.65 nM.

IT 479077-02-6P 479077-04-8P 479077-06-0P

479077-07-1P 479077-08-2P 479077-31-1P

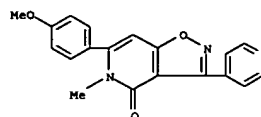
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoxazolopyridone derivs. as metabotropic glutamate receptor antagonists)

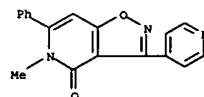
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CN Isoxazolo[4,5-c]pyridin-4(5H)-one, 6-(4-methoxyphenyl)-5-methyl-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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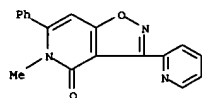
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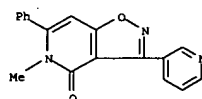
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L4 ANSWER 2 OF 2 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)



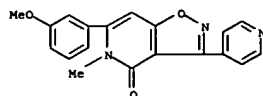
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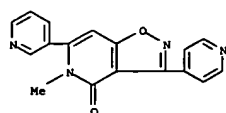
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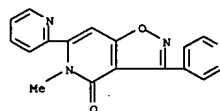
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RN 479077-32-2 CAPIUS

CN Isoxazolo[4,5-c]pyridin-4(5H)-one, 5-methyl-6-(2-pyridinyl)-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

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L5 ANSWER 1 OF 2 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 141:243542 MARPAT
 TITLE: Preparation of isoxazolo[4,5-c]pyridine derivatives as
 metabotropic glutamate receptor antagonists
 INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo,
 Mitsuru;
 Tsukamoto, Naohiro
 Japan
 PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of Appl.
 SOURCE: No. PCT/JP02/020589.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

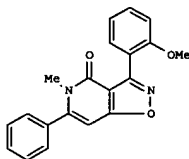
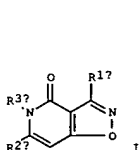
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US 2004176407	A1	20040909	US 2003-732988	20031210
WO 2002102807	A1	20021227	WO 2002-JP5898	20020613

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PRIORITY APPLN. INFO.: JP 2001-179801 20010614
 WO 2002-JP5898 20020613

GI



II

AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the provisos that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted

L5 ANSWER 2 OF 2 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 138:55955 MARPAT
 TITLE: Preparation of isoxazolo[4,5-c]pyridine derivatives as
 metabotropic glutamate receptor antagonists
 INVENTOR(S): Nakamura, Masayuki; Kurihara, Hideki; Ohkubo,
 Mitsuru;
 Tsukamoto, Naohiro
 Banyu Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102807	A1	20021227	WO 2002-JP5898	20020613

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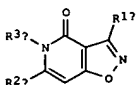
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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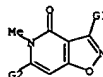
GI



AB Title compds. I (wherein R1a and R2a are each independently a heteroaryl or Ph group which may be substituted; and R3a is Me, with the provisos that when R1a is unsubstituted Ph, R2a is not p-substituted Ph wherein the substituent is OMe, Cl, Me, CF3, F, CH2Br, or CH2NMe2, or unsubstituted heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts, exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepared. Thus, refluxing 5-(4-methoxyphenylcarbonylmethyl)-3-(pyridin-4-yl)-N-methyl-4-isoxazolecarboxamide with p-toluenesulfonic acid in THF for 5 h gave 50%

L5 ANSWER 1 OF 2 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 heteroaryl and that when R1a is 4-tolyl or 4-fluorophenyl, R2a is not unsubstituted Ph, 4-methoxyphenyl or 4-fluorophenyl) and their pharmaceutically acceptable salts, exhibiting metabotropic glutamate receptor antagonism and are useful in the treatment of anxiety, depression, schizophrenia, Alzheimer disease, epilepsy, Parkinson's disease, pain, degenerative neuropathy, and so on, are prepd. Thus, refluxing 5-(phenylcarbonylmethyl)-3-(2-methoxyphenyl)-N-methyl-4-isoxazolecarboxamide with p-toluenesulfonic acid in THF for 5 h gave 5-methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.

MSTR 1

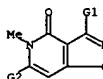


G1 = pyridyl (opt. substd.)
 G2 = Ph (opt. substd. by G4)
 Patent location: claim 1
 Note: substitution is restricted
 or pharmaceutically acceptable salts

L5 ANSWER 2 OF 2 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

5-methyl-6-(4-methoxyphenyl)-3-(pyridin-4-yl)isoxazolo[4,5c]pyridin-4(5H)-one. 5-Methyl-3-(2-methoxyphenyl)-6-phenylisoxazolo[4,5c]pyridin-4(5H)-one (II). II exhibited activity toward metabotropic glutamate receptor with IC50 of 7.65 nM.

MSTR 1



G1 = pyridyl
 G2 = Ph (opt. substd.)
 Derivative: or pharmaceutically acceptable salts
 Patent location: claim 1

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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10/732,988

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FILE 'CAPLUS' ENTERED AT 09:15:41 ON 17 AUG 2005

L4 2 S L3

FILE 'MARPAT' ENTERED AT 09:15:54 ON 17 AUG 2005

L5 2 S L1 FULL

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 09:16:14 ON 17 AUG 2005